

10/580,480

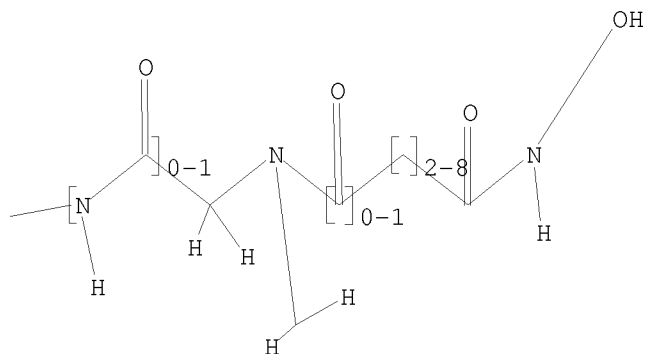
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L15        STRUCTURE UPLOADED

=> d

L15 HAS NO ANSWERS

L15                STR



Structure attributes must be viewed using STN Express query preparation.

=> s l15 sss

SAMPLE SEARCH INITIATED 14:48:02 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -     10587 TO ITERATE

18.9% PROCESSED        2000 ITERATIONS

1 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*

BATCH    \*\*COMPLETE\*\*

PROJECTED ITERATIONS:        205572 TO     217908

PROJECTED ANSWERS:            1 TO        243

L16                1 SEA SSS SAM L15

=> s l15 sss full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 191.05 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 14:48:21 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -    211795 TO ITERATE

100.0% PROCESSED    211795 ITERATIONS

205 ANSWERS

SEARCH TIME: 00.00.04

L17                205 SEA SSS FUL L15

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE  
ENTRY

TOTAL  
SESSION

TOh

08/09/2010

10/923,271

|  |            |         |
|--|------------|---------|
| FULL ESTIMATED COST                        | 192.03     | 662.04  |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL   |
|  | ENTRY      | SESSION |
| CA SUBSCRIBER PRICE                        | 0.00       | -9.35   |

FILE 'CAPLUS' ENTERED AT 14:48:31 ON 08 SEP 2010  
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FILE COVERS 1907 - 8 Sep 2010 VOL 153 ISS 11  
FILE LAST UPDATED: 7 Sep 2010 (20100907/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2010  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 117

L18 47 L17

=> s 118 and Py<2004

24051605 PY<2004

L19 27 L18 AND PY<2004

=> s 118 and Py<2003

22999285 PY<2003

L20 24 L18 AND PY<2003

=> d 119 1-10 ibib abs hitstr

THE ESTIMATED COST FOR THIS REQUEST IS 58.10 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L19 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:535052 CAPLUS

DOCUMENT NUMBER: 139:292132

TITLE: Design, synthesis and antimalarial activity of novel,

quinoline-Based, zinc metallo-aminopeptidase inhibitors

AUTHOR(S): Flipo, Marian; Florent, Isabelle; Grellier, Philippe; Sergheraert, Christian; Deprez-Poulain, Rebecca

CORPORATE SOURCE: Institut Pasteur et Institut de Biologie de Lille, Universite de Lille 2, UMR CNRS 8525, Lille, Fr.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(16), 2659-2662  
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

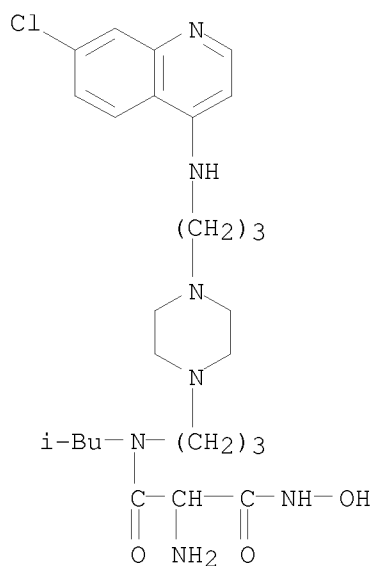
OTHER SOURCE(S): CASREACT 139:292132

AB PfA-M1, a neutral zinc aminopeptidase of Plasmodium falciparum, is a new potential target for the discovery of antimalarials. The design and synthesis of a library of 45 quinoline-based inhibitors of PfA-M1 is reported. The best inhibitor displays an IC<sub>50</sub> of 854 nM. The antimalarial activity on a CQ-resistant strain and the specificity towards mammalian aminopeptidase N are also discussed. Compds. thus prepared and evaluated included N1-hydroxy-N2-(2-methylpropyl)-N2-(4-quinolinyl)propanediamide, N1-hydroxy-N2,2-bis(2-methylpropyl)-N2-(4-quinolinyl)propanediamide and 2-amino-N1-hydroxy-N2-(2-methylpropyl)-N2-(4-quinolinyl)propanediamide. These compds. were analogs of N-(cyclopropylmethyl)-N-(4-quinolinyl)-β-alaninamide.

IT 608520-26-9P 608520-27-0P 608520-29-2P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(design, preparation and antimalarial activity of quinoline-based zinc metallo-aminopeptidase inhibitors)

RN 608520-26-9 CAPLUS

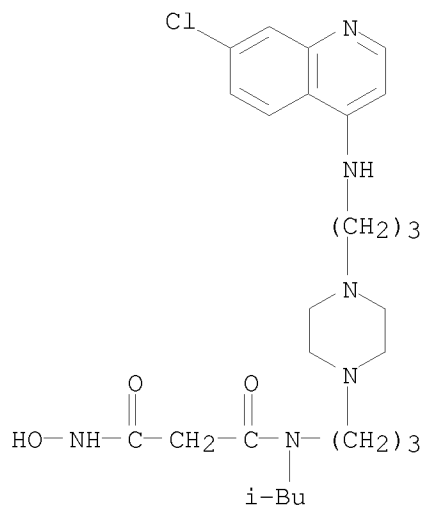
CN Propanediamide, 2-amino-N1-[3-[4-[3-[(7-chloro-4-quinolinyl)amino]propyl]-1-piperazinyl]propyl]-N3-hydroxy-N1-(2-methylpropyl)- (CA INDEX NAME)



10/923,271

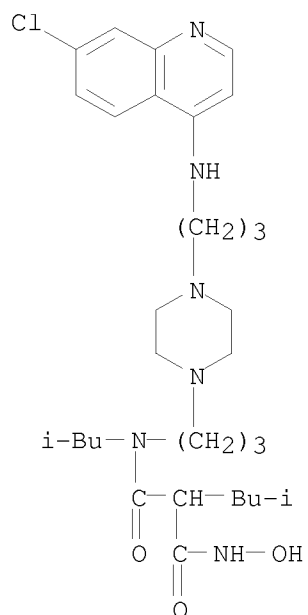
RN 608520-27-0 CAPLUS

CN Propanediamide, N1-[3-[4-[3-[(7-chloro-4-quinolinyl)amino]propyl]-1-piperazinyl]propyl]-N3-hydroxy-N1-(2-methylpropyl)- (CA INDEX NAME)



RN 608520-29-2 CAPLUS

CN Propanediamide, N1-[3-[4-[3-[(7-chloro-4-quinolinyl)amino]propyl]-1-piperazinyl]propyl]-N3-hydroxy-N1,2-bis(2-methylpropyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)

10/923,271

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:485895 CAPLUS

DOCUMENT NUMBER: 139:223711

TITLE: Novel inhibitors of procollagen C-Proteinase. Part 2: glutamic acid hydroxamates

AUTHOR(S): Robinson, L. A.; Wilson, D. M.; Delaet, N. G. J.; Bradley, E. K.; Dankwardt, S. M.; Campbell, J. A.; Martin, R. L.; Van Wart, H. E.; Walker, K. A. M.; Sullivan, R. W.

CORPORATE SOURCE: CombiChem Inc., San Diego, CA, 92121, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(14), 2381-2384

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:223711

AB Glutamic acid derived hydroxamates were identified as potent and selective inhibitors of procollagen C-proteinase, an essential enzyme for the processing of procollagens to fibrillar collagens. Such compds. have potential therapeutic application in the treatment of fibrosis.

IT 279255-52-6P 591766-04-0P 591766-06-2P  
591766-07-3P

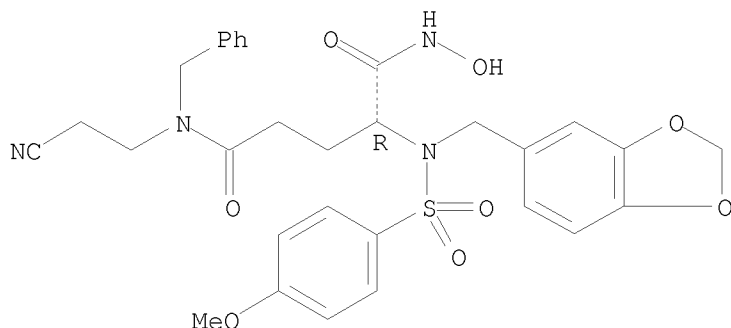
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and structure-activity relationship of glutamic acid hydroxamates as novel inhibitors of procollagen C-Proteinase)

RN 279255-52-6 CAPLUS

CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N5-(2-cyanoethyl)-N1-hydroxy-N5-(phenylmethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

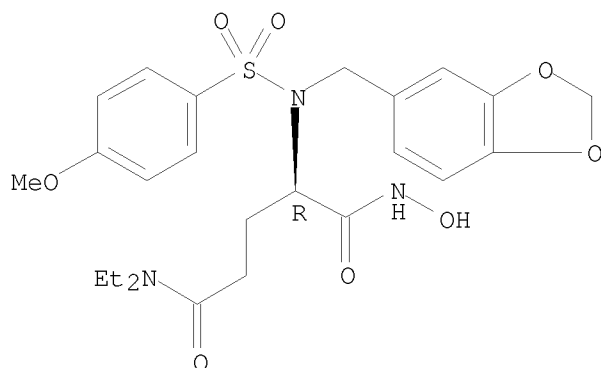


RN 591766-04-0 CAPLUS

CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N5,N5-diethyl-N1-hydroxy-, (2R)- (CA INDEX NAME)

10/923,271

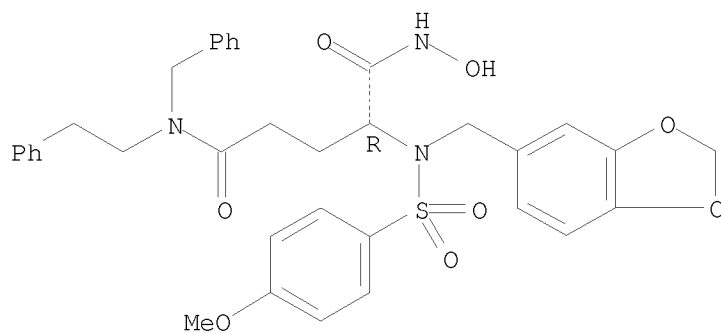
Absolute stereochemistry.



RN 591766-06-2 CAPLUS

CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N1-hydroxy-N5-(2-phenylethyl)-N5-(phenylmethyl)-, (2R)- (CA INDEX NAME)

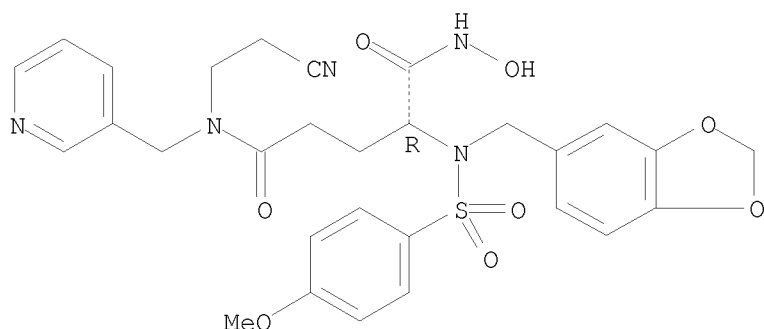
Absolute stereochemistry.



RN 591766-07-3 CAPLUS

CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N5-(2-cyanoethyl)-N1-hydroxy-N5-(3-pyridinylmethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS  
RECORD (13 CITINGS)  
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:477672 CAPLUS

DOCUMENT NUMBER: 139:350613

TITLE: Simple preparation of N-benzyl- $\beta$ -aminohydroxamic  
acids by 1,3-dipolar cycloaddition of nitrones

AUTHOR(S): Chevrier, Carine; Defoin, Albert

CORPORATE SOURCE: Laboratoire de Chimie Organique et Bioorganique UMR  
7015, Ecole Nationale Supérieure de Chimie de  
Mulhouse, Université de Haute-Alsace, Mulhouse, 68093,  
Fr.

SOURCE: Synthesis (2003), (8), 1221-1224

CODEN: SYNTBF; ISSN: 0039-7881

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:350613

AB  $\beta$ -Aminohydroxamic acids 6a-d are prepared in 4 steps and 30-45% overall  
yield from nitrones 1a-d by 1,3-dipolar cycloaddn. with Ph vinyl ether,  
N-benzylation, thermal rearrangement, and nucleophilic substitution of the  
formed Ph ester with hydroxylamine. Nitrones included  
3,4-dihydro-2H-pyrrole 1-oxide, 2,3,4,5-tetrahydropyridine 1-oxide,  
N-(butylidene)-1-butanamine N-oxide,  
(3R,4R)-3,4-dihydro-3,4-bis(methoxymethoxy)-2H-pyrrole. Hydroxamic acids  
thus prepared included N-hydroxy-1-(phenylmethyl)-2-pyrrolidineacetamide,  
N-hydroxy-1-(phenylmethyl)-2-piperidineacetamide,  
3-[butyl(phenylmethyl)amino]-N-hydroxyhexanamide,  
(-)-(2R,3R,4R)-N-Hydroxy-3,4-bis(methoxymethoxy)-1-(phenylmethyl)-2-  
pyrrolidineacetamide, .

IT 618107-08-7P, 3-[Butyl(phenylmethyl)amino]-N-hydroxyhexanamide

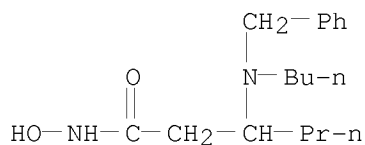
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of N-benzyl- $\beta$ -aminohydroxamic acids by 1,3-dipolar  
cycloaddn. of nitrones)

RN 618107-08-7 CAPLUS

CN Hexanamide, 3-[butyl(phenylmethyl)amino]-N-hydroxy- (CA INDEX NAME)

10/923,271



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)  
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:275960 CAPLUS

DOCUMENT NUMBER: 136:310184

TITLE: Preparation of hydroxamic acid peptide deformylase inhibitors as antibacterial agents

INVENTOR(S): Chong, Lee; Frechette, Roger; Scott, Carole; Tester, Richard; Smith, Whitney; Chiba, Katsumi; Sakamoto, Masatoshi; Gluchowski, Charles

PATENT ASSIGNEE(S): Questcor Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 171 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

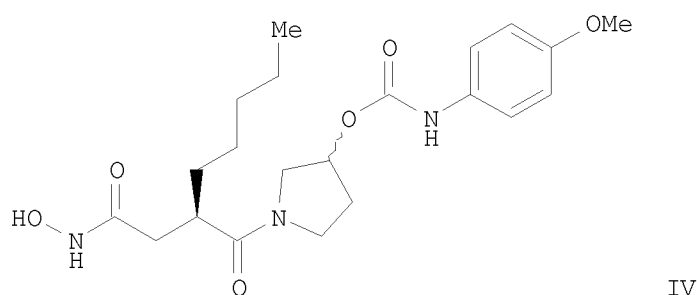
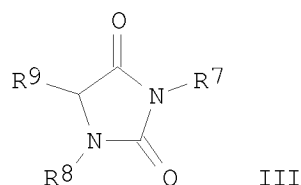
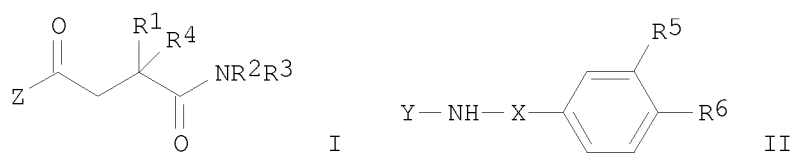
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE         |
|------------------------|--|----------|-----------------|--------------|
| WO 2002028829          | A2   | 20020411 | WO 2001-US29926 | 20010924 <-- |
| WO 2002028829          | A3   | 20031224 |                 |              |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW |          |                 |              |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |              |
| AU 2002030385          | A  | 20020415 | AU 2002-30385   | 20010924 <-- |
| PRIORITY APPLN. INFO.: |  |          | US 2000-234967P | P 20000925   |
|                        |  |          | US 2001-761850  | A 20010118   |
|                        |  |          | WO 2001-US29926 | W 20010924   |
| OTHER SOURCE(S):       | MARPAT 136:310184  |          |                 |              |
| GI                     |  |          |                 |              |





AB Hydroxamic acid derivs. of peptides and peptidomimetics of formulas I, II, and III [wherein Z = NHOH or ORa; Ra = alkyl or a biocleavable moiety; X = CO or SO<sub>2</sub>; Y = (un)substituted heteroalkyl or heterocyclyl; R<sub>1</sub> = (un)substituted (cyclo)alkyl, aryl, heterocyclyl, or heteroalkyl; R<sub>2</sub>R<sub>3</sub> = 4-7 membered (un)substituted heterocycle; R<sub>2</sub>R<sub>4</sub> = ring formed through a CH<sub>2</sub>CH<sub>2</sub> linkage; or R<sub>2</sub> = Me; or R<sub>3</sub> = H or (un)substituted (hetero)alkyl, aryl, or heterocyclyl; or R<sub>4</sub> = H or (un)substituted (hetero)alkyl, aryl, or heterocyclyl; R<sub>5</sub> and R<sub>6</sub> = independently H, NO<sub>2</sub>, NH<sub>2</sub>, NHCOH, NHCOCH<sub>3</sub>, NHSO<sub>2</sub>CH<sub>3</sub>, or (un)substituted CH<sub>2</sub>NH-(hetero)alkyl or CH<sub>2</sub>NH-heterocyclyl; one of R<sub>7</sub> or R<sub>8</sub> = CHR<sub>10</sub>CONHOH; one of R<sub>7</sub> or R<sub>8</sub> = (un)substituted (hetero)alkyl, (alkyl)heterocyclyl, or alkylaryl; R<sub>9</sub> and R<sub>10</sub> = independently H or (un)substituted (hetero)alkyl, (alkyl)heterocyclyl, or alkylaryl] were prepared as peptide deformylase (Fe-PDF) inhibitors for treating various bacterial infections. For example, 3-pyrrolidinol was added to tert-Bu (R)-(2-pentyl)succinate mono(N-hydroxysuccinimide) ester to give the amide (68%). Treatment with 20% TFA/DCM, followed by MeOH, benzene, and TMSN<sub>2</sub> in hexanes, to afford the Me ester (90%). The pyrrolidinol was coupled with 4-methoxyphenylisocyanate and the ester converted to the hydroxamic acid (IV) using NH<sub>2</sub>OH•HCl. The latter inhibited E. coli Fe-PDF with IC<sub>50</sub> of 9 nM and showed selectivity for Fe-PDF vs. thermolysin with a selectivity index of 30,000. Thus, I, II, and III are useful as antibiotics against a broad range of infectious disease in animals and humans.

IT 409129-80-2P 409129-81-3P 409129-82-4P  
409129-83-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

10/923,271

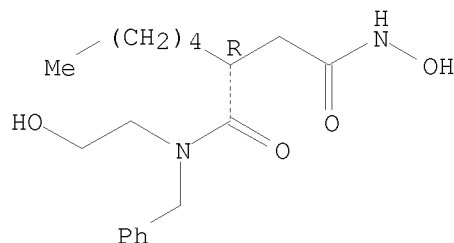
(Uses)

(peptide deformylase inhibitor; preparation of hydroxamic acid derivs. of peptides and peptidomimetics as peptide deformylase inhibitors for treatment of infectious diseases)

RN 409129-80-2 CAPLUS

CN Butanediamide, N4-hydroxy-N1-(2-hydroxyethyl)-2-pentyl-N1-(phenylmethyl)-, (2R)- (CA INDEX NAME)

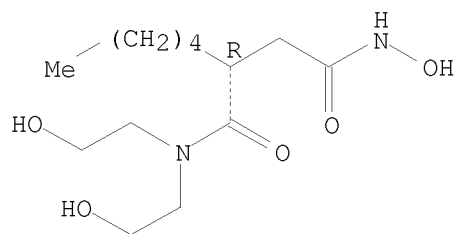
Absolute stereochemistry.



RN 409129-81-3 CAPLUS

CN Butanediamide, N4-hydroxy-N1,N1-bis(2-hydroxyethyl)-2-pentyl-, (2R)- (CA INDEX NAME)

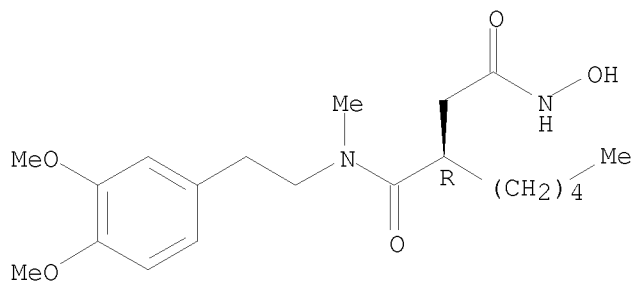
Absolute stereochemistry.



RN 409129-82-4 CAPLUS

CN Butanediamide, N1-[2-(3,4-dimethoxyphenyl)ethyl]-N4-hydroxy-N1-methyl-2-pentyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

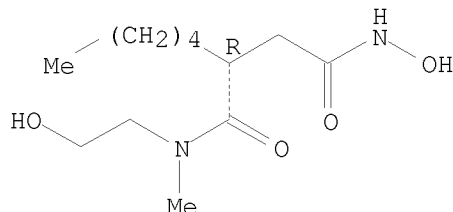


RN 409129-83-5 CAPLUS

10/923,271

CN Butanediamide, N4-hydroxy-N1-(2-hydroxyethyl)-N1-methyl-2-pentyl-, (2R)-  
(CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS  
RECORD (11 CITINGS)  
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:152517 CAPLUS

DOCUMENT NUMBER: 139:91098

TITLE: Transition metal complexes of two new  
imino-dihydroxamic acids. [Erratum to document cited  
in CA136:43578]

AUTHOR(S): Santos, M. Amelia; Grazina, Raquel; Pinto, Margarida;  
Farkas, Etelka

CORPORATE SOURCE: Centro de Quimica Estrutural, Instituto Superior  
Tecnico, Lisbon, 1049-001, Port.

SOURCE: Inorganica Chimica Acta (2002), 329, 155  
CODEN: ICHAA3; ISSN: 0020-1693

PUBLISHER: Elsevier Science S.A.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A revised version of Table 1 is given to correct 3 standard deviation values  
of consts.

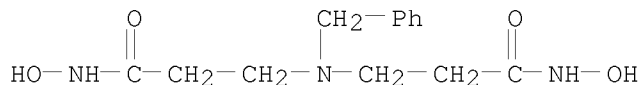
IT 380371-98-2D, transition metal complexes 380372-00-9D  
, transition metal complexes

RL: CPS (Chemical process); FMU (Formation, unclassified); PEP (Physical,  
engineering or chemical process); PRP (Properties); FORM (Formation,  
nonpreparative); PROC (Process)

(transition metal complexation with imino-dihydroxamic acids (Erratum))

RN 380371-98-2 CAPLUS

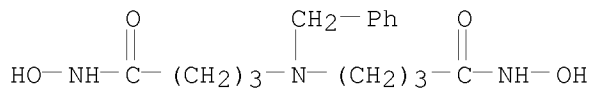
CN Propanamide, 3,3'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX  
NAME)



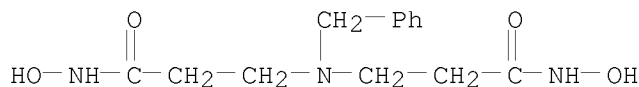
RN 380372-00-9 CAPLUS

CN Butanamide, 4,4'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX  
NAME)

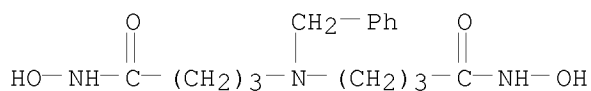
10/923,271



IT 380371-98-2P 380372-00-9P  
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)  
(transition metal complexation with imino-dihydroxamic acids (Erratum))  
RN 380371-98-2 CAPLUS  
CN Propanamide, 3,3'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX NAME)



RN 380372-00-9 CAPLUS  
CN Butanamide, 4,4'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX NAME)



L19 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:713343 CAPLUS

DOCUMENT NUMBER: 135:272894

TITLE: Preparation of  $\beta$ -amino acid derivatives as inhibitors of matrix metalloproteases and TNF- $\alpha$

INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P., Jr.; Voss, Matthew E.

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 483 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE         |
|---------------|--|----------|-----------------|--------------|
| -----         | ----   | -----    | -----           | -----        |
| WO 2001070734 | A2   | 20010927 | WO 2001-US8336  | 20010315 <-- |
| WO 2001070734 | A3   | 20020314 |                 |              |
| W:            | AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IL, IN, JP, KR, LT, LU, LV, NZ, PL, PT, RO, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |              |
| RW:           | AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  |          |                 |              |

PT, SE, TR

|   |    |          |                 |              |
|---|----|----------|-----------------|--------------|
| CA 2400168  | A1 | 20010927 | CA 2001-2400168 | 20010315 <-- |
| AU 2001050850   | A  | 20011003 | AU 2001-50850   | 20010315 <-- |
| EP 1263756  | A2 | 20021211 | EP 2001-924171  | 20010315 <-- |
| EP 1263756  | B1 | 20040225 |                 |              |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR |    |          |                 |              |
| BR 2001009469   | A  | 20030429 | BR 2001-9469    | 20010315 <-- |
| JP 2003528097   | T  | 20030924 | JP 2001-568935  | 20010315 <-- |
| AT 260272   | T  | 20040315 | AT 2001-924171  | 20010315     |
| NZ 521245   | A  | 20040430 | NZ 2001-521245  | 20010315     |
| ES 2215893  | T3 | 20041016 | ES 2001-924171  | 20010315     |
| US 20020013341  | A1 | 20020131 | US 2001-811116  | 20010316 <-- |
| US 6495565  | B2 | 20021217 |                 |              |
| IN 2002MN01075  | A  | 20050304 | IN 2002-MN1075  | 20020808     |
| HK 1049334  | A1 | 20040716 | HK 2003-101437  | 20030226     |
| PRIORITY APPLN. INFO.:  |    |          |                 |              |
|   |    |          | US 2000-190183P | P 20000317   |
|   |    |          | US 2000-235467P | P 20000926   |
|   |    |          | US 2000-252062P | P 20001120   |
|   |    |          | WO 2001-US8336  | W 20010315   |

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

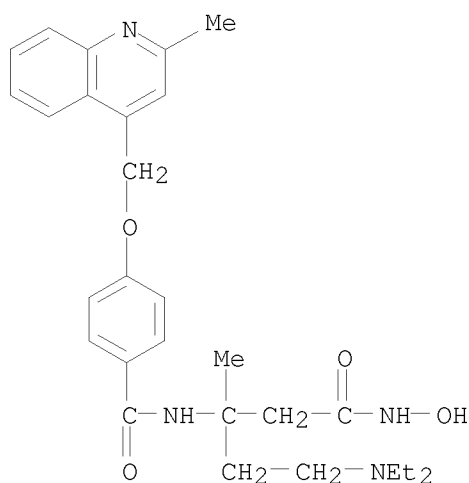
OTHER SOURCE(S): MARPAT 135:272894

AB Novel  $\beta$ -amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO<sub>2</sub>H, SH, CH<sub>2</sub>SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)<sub>2</sub>, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO<sub>2</sub>, O<sub>2</sub>C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepared as metalloprotease and TNF- $\alpha$  inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester. [This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 362698-32-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of  $\beta$ -amino acid derivs. as inhibitors of matrix metalloproteases and TNF- $\alpha$ )

RN 362698-32-6 CAPLUS

CN Benzamide, N-[1-[2-(diethylamino)ethyl]-3-(hydroxyamino)-1-methyl-3-oxopropyl]-4-[(2-methyl-4-quinolinyl)methoxy]- (CA INDEX NAME)



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OS.CITING REF COUNT:      10    THERE ARE 10 CAPLUS RECORDS THAT CITE THIS
                               RECORD (11 CITINGS)
REFERENCE COUNT:          3    THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
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L19 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:713296 CAPLUS

DOCUMENT NUMBER: 135:272755

TITLE: Preparation of hydroxamic acids as inhibitors of histone deacetylase

INVENTOR(S) : Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii

PATENT ASSIGNEE(S): Methylgene, Inc., Can.

SOURCE: PCT Int. Appl., 241 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

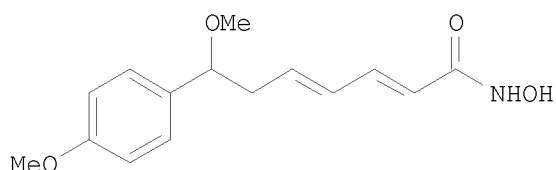
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.     | KIND   | DATE     | APPLICATION NO. | DATE         |
|----------------|--|----------|-----------------|--------------|
| WO 2001070675  | A2   | 20010927 | WO 2001-IB683   | 20010326 <-- |
| WO 2001070675  | A3   | 20021031 |                 |              |
| W:             | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW |          |                 |              |
| RW:            | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |              |
| CA 2404002     | A1   | 20010927 | CA 2001-2404002 | 20010326 <-- |
| US 20020115826 | A1   | 20020822 | US 2001-817374  | 20010326 <-- |
| US 7288567     | B2   | 20071030 |                 |              |
| EP 1280764     | A2   | 20030205 | EP 2001-921735  | 20010326 <-- |
| R:             | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  |          |                 |              |

10/923,271

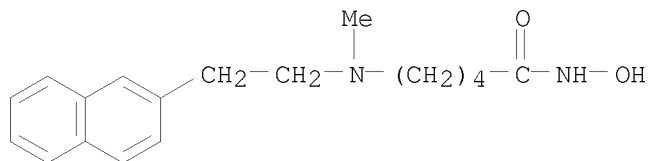
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
JP 2003528074 T 20030924 JP 2001-568887 20010326 <--  
EP 1524262 A1 20050420 EP 2005-75122 20010326  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI, CY, TR  
US 20090181971 A1 20090716 US 2007-837696 20070813  
PRIORITY APPLN. INFO.: US 2000-192151P P 20000324  
EP 2001-921735 A3 20010326  
US 2001-817374 A3 20010326  
WO 2001-IB683 W 20010326  
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): MARPAT 135:272755  
GI



AB The title compds. CyXY1W [I; Cy = (un)substituted cycloalkyl, aryl, heterocyclyl; X = CO, CHOH, C:NOH, etc.; Y1 = (un)substituted alkylene, etc. (provided that Y1 does not comprise an ester or amide linkage in the linear chain connecting X and W); W = COCH2SR2, CONHOM, NHCONHZ, CONHZ (R2 = alkyl, aryl, aralkyl, acyl; M = H, cation; Z = hydroxyphenyl, pyridyl, thiazolyl, etc.)], useful for inhibiting histone deacetylase enzymic activity, and therefore for treating cell proliferative diseases and conditions, were prepared E.g., a multi-step synthesis of the title compound II which showed IC50 of 0.25 against recombinant human HDAC-1 enzyme, was given.

IT 362671-66-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of hydroxamic acids as inhibitors of histone deacetylase)

RN 362671-66-7 CAPLUS  
CN Pentanamide, N-hydroxy-5-[methyl[2-(2-naphthalenyl)ethyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)

L19 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

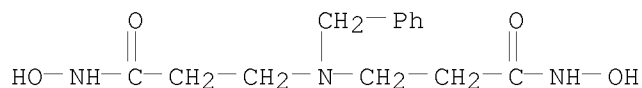
ACCESSION NUMBER: 2001:667576 CAPLUS  
 DOCUMENT NUMBER: 136:43578  
 TITLE: Transition metal complexes of two new  
 imino-dihydroxamic acids  
 AUTHOR(S): Amelia Santos, M.; Grazina, R.; Pinto, M.; Farkas, E.  
 CORPORATE SOURCE: Centro de Quimica Estrutural, Instituto Superior  
 Tecnico, Lisbon, 1049-001, Port.  
 SOURCE: Inorganica Chimica Acta (2001), 321(1,2),  
 42-48  
 CODEN: ICHAA3; ISSN: 0020-1693  
 PUBLISHER: Elsevier Science S.A.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Two new iminodihydroxamic acids [N-benzyl-imino-bis(propionohydroxamic acid) and N-benzyl-imino-bis(butyrohydroxamic acid)] were prepared and studied as specific binders for the transition M2+ ions due to their potential interest as inhibitors of metalloproteinases. Their architecture is based on aliphatic backbones, as spacers connecting two hydroxamate chelating units, with an N-benzyl group inserted in that skeleton to simulate the protein lipophilic subset. Herein, we first report the synthetic procedure that basically involves the formation of the corresponding intermediates with two nitrile groups, which were then converted to the CONHOH moieties. Then, the acid-base and the chelating properties of these ligands towards Cu2+, Ni2+ and Zn2+ ions, studied by potentiometric and spectrophotometric techniques, are described. Both the ligands form quite stable complexes with these metal ions, presenting a preferential M2+ coordination to the hydroxamate over the amine groups, according to the order Zn2+ ≥ Ni2+ > Cu2+.

IT 380371-98-2D, transition metal complexes 380372-00-9D  
 , transition metal complexes  
 RL: CPS (Chemical process); FMU (Formation, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); FORM (Formation, nonpreparative); PROC (Process)  
 (transition metal complexation with imino-dihydroxamic acids)

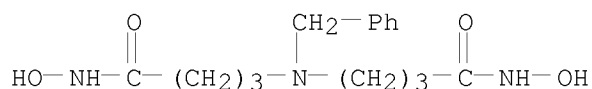
RN 380371-98-2 CAPLUS

CN Propanamide, 3,3'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX NAME)



RN 380372-00-9 CAPLUS

CN Butanamide, 4,4'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX NAME)



IT 380371-98-2P 380372-00-9P

RL: CPS (Chemical process); PEP (Physical, engineering or chemical

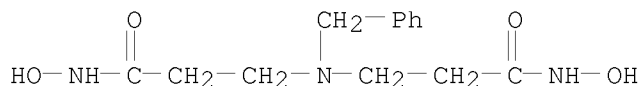


10/923,271

process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
PROC (Process); RACT (Reactant or reagent)  
(transition metal complexation with imino-dihydroxamic acids)

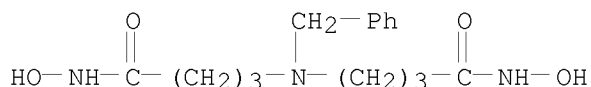
RN 380371-98-2 CAPLUS

CN Propanamide, 3,3'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX  
NAME)



RN 380372-00-9 CAPLUS

CN Butanamide, 4,4'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX  
NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:453016 CAPLUS

DOCUMENT NUMBER: 135:61071

TITLE: Preparation of hydroxamic acid derivatives as matrix  
metalloproteinase (MMP) inhibitors

INVENTOR(S): Owen, David Alan; Baxter, Andrew Douglas; Watson,  
Robert John; Montana, John Gary

PATENT ASSIGNEE(S): Darwin Discovery Ltd., UK

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE         |
|---------------|--|----------|-----------------|--------------|
| WO 2001044188 | A1   | 20010621 | WO 2000-GB4861  | 20001218 <-- |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |          |                 |              |
| RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |              |
| AU 2001022017 | A  | 20010625 | AU 2001-22017   | 20001218 <-- |
| EP 1237867    | A1   | 20020911 | EP 2000-985609  | 20001218 <-- |
| R:            | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  |          |                 |              |

10/923,271

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
US 6462042 B1 20021008 US 2001-806266 20010328 <--  
PRIORITY APPLN. INFO.: GB 1999-29979 A 19991217  
WO 2000-GB4861 W 20001218

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

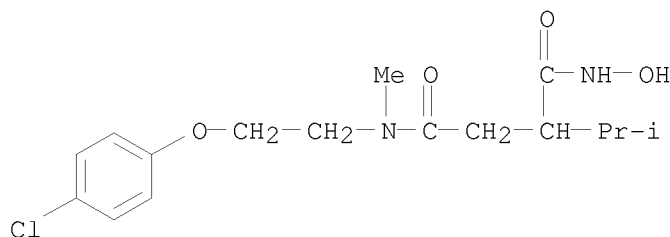
OTHER SOURCE(S): MARPAT 135:61071

AB The title compds. B1NB2COCH2CR1R2CONHOH [I; R1 = alkyl, alkenyl, aryl, etc.; R2 = H, alkyl; CR1R2 = (un)substituted cycloalkyl, heterocycloalkyl; B1, B2 = H, alkyl, aryl, etc.] having therapeutic utility, were prepared E.g., a multi-step synthesis of (2S)-I [R1 = iso-Pr; R2 = H; B1 = Me; B2 = 4-(morpholin-4-yl)phenyl] was given. Compds. I are effective in treating inflammation at 0.01-50 mg/kg/day.

IT 345633-03-6P 345633-08-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of hydroxamic acid derivs. as matrix metalloproteinase (MMP) inhibitors)

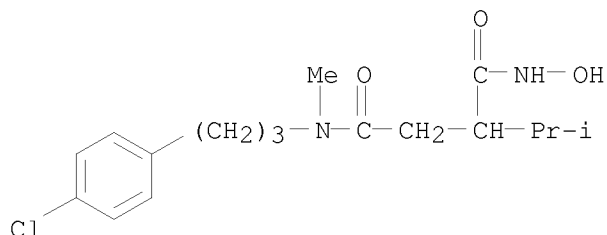
RN 345633-03-6 CAPLUS

CN Butanediamide, N4-[2-(4-chlorophenoxy)ethyl]-N1-hydroxy-N4-methyl-2-(1-methylethyl)- (CA INDEX NAME)



RN 345633-08-1 CAPLUS

CN Butanediamide, N4-[3-(4-chlorophenyl)propyl]-N1-hydroxy-N4-methyl-2-(1-methylethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:441768 CAPLUS

DOCUMENT NUMBER: 133:74324

10/923,271

TITLE: Preparation of amino acid sulfonamide hydroxamates as inhibitors of procollagen C-proteinase.  
INVENTOR(S): Billedeau, Roland Joseph; Broka, Chris Allen; Campbell, Jeffrey Allen; Chen, Jian Jeffrey; Dankwardt, Sharon Marie; Delaet, Nancy; Robinson, Leslie Ann; Walker, Keith Adrian Murray  
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.  
SOURCE: PCT Int. Appl., 133 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE             | APPLICATION NO. | DATE         |
|---|------|------------------|-----------------|--------------|
| WO 2000037436   | A1   | 20000629         | WO 1999-EP9920  | 19991214 <-- |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW |      |                  |                 |              |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |                  |                 |              |
| CA 2355902  | A1   | 20000629         | CA 1999-2355902 | 19991214 <-- |
| BR 9916504  | A    | 20010911         | BR 1999-16504   | 19991214 <-- |
| EP 1149072  | A1   | 20011031         | EP 1999-963530  | 19991214 <-- |
| EP 1149072  | B1   | 20040630         |                 |              |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |                  |                 |              |
| TR 2001001868   | T2   | 20011121         | TR 2001-1868    | 19991214 <-- |
| HU 2001004658   | A2   | 20020629         | HU 2001-4658    | 19991214 <-- |
| HU 2001004658   | A3   | 20051228         |                 |              |
| JP 2002533322   | T    | 20021008         | JP 2000-589508  | 19991214 <-- |
| AU 769319   | B2   | 20040122         | AU 2000-19792   | 19991214     |
| NZ 512292   | A    | 20040326         | NZ 1999-512292  | 19991214     |
| AT 270271   | T    | 20040715         | AT 1999-963530  | 19991214     |
| RU 2232751  | C2   | 20040720         | RU 2001-119461  | 19991214     |
| US 6492394  | B1   | 20021210         | US 1999-469660  | 19991222 <-- |
| HR 2001000443   | A2   | 20020630         | HR 2001-443     | 20010614 <-- |
| ZA 2001005014   | A    | 20020919         | ZA 2001-5014    | 20010619 <-- |
| MX 2001006328   | A    | 20010910         | MX 2001-6328    | 20010620 <-- |
| NO 2001003100   | A    | 20010821         | NO 2001-3100    | 20010621 <-- |
| US 20030199520  | A1   | 20031023         | US 2002-267292  | 20021009 <-- |
| US 6844366  | B2   | 20050118         |                 |              |
| US 20030216405  | A1   | 20031120         | US 2002-267727  | 20021009 <-- |
| US 6787559  | B2   | 20040907         |                 |              |
| PRIORITY APPLN. INFO.:  |      |                  | US 1998-113311P | P 19981222   |
|   |      |                  | US 1999-147053P | P 19990803   |
|   |      |                  | US 1999-164138P | P 19991108   |
|   |      |                  | WO 1999-EP9920  | W 19991214   |
|   |      |                  | US 1999-469660  | A3 19991222  |
| ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT   |      |                  |                 |              |
| OTHER SOURCE(S):  |      | MARPAT 133:74324 |                 |              |
| AB HOHNCOCHR1NRSO2Ar2 [R1 = alkyl, haloalkyl, heteroalkyl, cycloalkyl, aryl,  |      |                  |                 |              |

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aralkyl, aralkenyl, heteroaryl, heteroaralkyl, aminl, aryl, aralkyl, etc.;  
R = CHR<sub>2</sub>Ar<sub>1</sub>, CHR<sub>2</sub>CH:CHAr<sub>1</sub>; Ar<sub>2</sub> = specified (substituted) Ph, naphthyl; R<sub>2</sub>  
= H, alkyl; with provisos], were prepared Thus,  
N-hydroxy-2(R)-[(3,4-methylenedioxybenzyl)(4-methoxy-2,3,6-  
trimethylbenzenesulfonyl)amino]-3-methylbutyramide was prepared by solution  
phase synthesis from BOC-D-Val-OH. Title compds. inhibited procollagen  
C-proteinase with IC<sub>50</sub> 0.01-2  $\mu$ M.

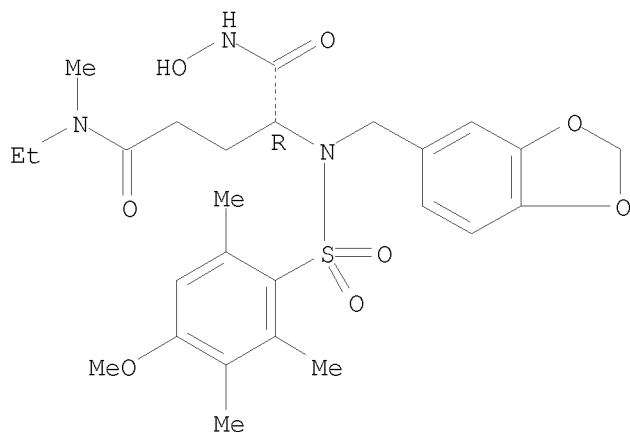
IT 279255-20-8P 279255-52-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of amino acid sulfonamide hydroxamates as inhibitors of  
procollagen C-proteinase)

RN 279255-20-8 CAPLUS

CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxy-2,3,6-  
trimethylphenyl)sulfonyl]amino]-N5-ethyl-N1-hydroxy-N5-methyl-, (2R)- (CA  
INDEX NAME)

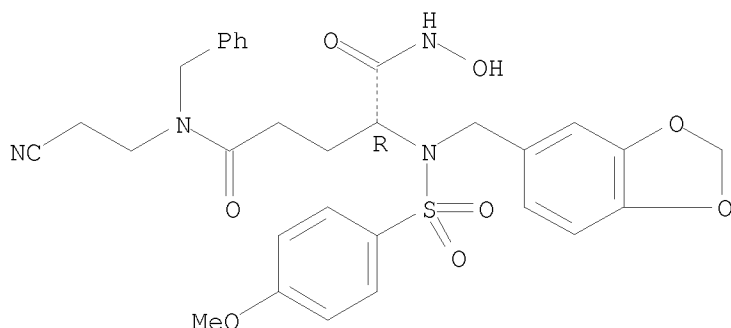
Absolute stereochemistry.



RN 279255-52-6 CAPLUS

CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-  
methoxyphenyl)sulfonyl]amino]-N5-(2-cyanoethyl)-N1-hydroxy-N5-  
(phenylmethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



10/923,271

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS  
RECORD (10 CITINGS)  
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 119 11-27 ibib abs hitstr

THE ESTIMATED COST FOR THIS REQUEST IS 98.77 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L19 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:498627 CAPLUS

DOCUMENT NUMBER: 129:175972

ORIGINAL REFERENCE NO.: 129:35769a,35772a

TITLE: Preparation of phenylsulfonamides as matrix  
metalloproteinase inhibitors for treatment of diseases

INVENTOR(S): Takahashi, Kanji; Sugiura, Tsuneyuki

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 42 pp.

CODEN: JKXXAF

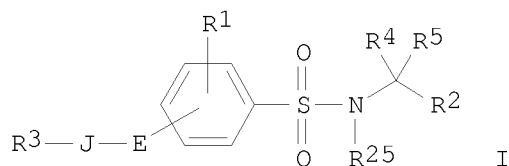
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE       | APPLICATION NO. | DATE         |
|------------------------|--------|------------|-----------------|--------------|
| -----                  | ---    | -----      | -----           | -----        |
| JP 10204054            | A      | 19980804   | JP 1997-20880   | 19970121 <-- |
| PRIORITY APPLN. INFO.: |        |            | JP 1997-20880   | 19970121     |
| OTHER SOURCE(S):       | MARPAT | 129:175972 |                 |              |
| GI                     |        |            |                 |              |



AB Phenylsulfonamides I [R1 = H, C1-4 alkyl; R2 = CO2R6, CONHOR7; R6, R7 = H, (un)substituted alkyl, Ph; R3 = OR11, (un)substituted amino, CO2R14, etc.; R11 = H, (un)substituted C1-4 alkyl, C2-4 acyl, etc; R14 = H, (un)substituted C1-4 alkyl, Ph; R4, R5 = H, (un)substituted C1-8 alky, (un)substituted amino, (hetero)cycllyl, etc.; E = CH:CH, C.tplbond.C; J = bond, C1-8 alkylene; R25 = H, (Ph-substituted) C1-4 alkyl, (Ph-substituted) alkoxy carbonyl] or their nontoxic salts are prepared The phenylsulfonamides are useful for treatment of rheumatoid arthritis, bone diseases, arteriosclerosis, tumor, autoimmune diseases, etc., caused by excess secretion or elevated activity of matrix metalloproteinase. Hydrolysis of N-[4-(4-hydroxy-1-butynyl)phenylsulfonyl]-D-tryptophan Me ester with aqueous NaOH gave 29% N-[4-(4-hydroxy-1-butynyl)phenylsulfonyl]-D-tryptophan, which inhibited gelatinase A activity at IC50 of 0.0079  $\mu$ M.

IT 211383-80-1P

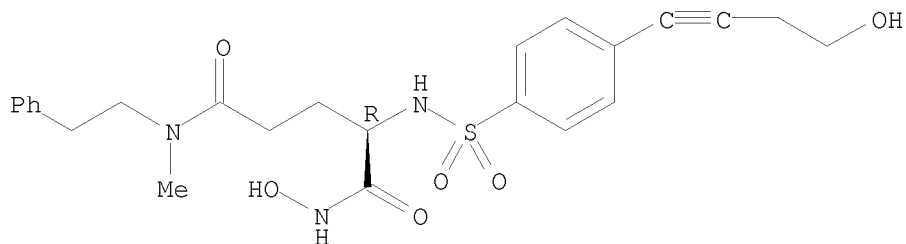
10/923,271

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of phenylsulfonamides as matrix metalloproteinase inhibitors for treatment of diseases)

RN 211383-80-1 CAPLUS

CN Pentanediamide, N1-hydroxy-2-[[[4-(4-hydroxy-1-butyn-1-yl)phenyl]sulfonyl]amino]-N5-methyl-N5-(2-phenylethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L19 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:805715 CAPLUS

DOCUMENT NUMBER: 128:61793

ORIGINAL REFERENCE NO.: 128:12110h,12111a

TITLE: Preparation of N-(phenylsulfonyl)amino acid derivatives as matrix metalloproteinase inhibitors

INVENTOR(S): Takahashi, Kanji; Sugiura, Tsuneyuki

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 194 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

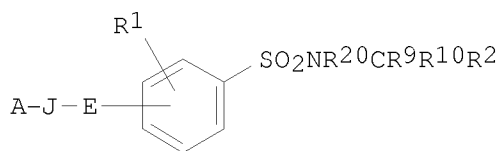
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

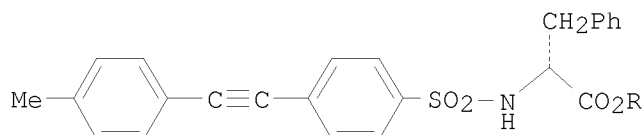
| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE         |
|--|------|----------|-----------------|--------------|
| WO 9745402   | A1   | 19971204 | WO 1997-JP1735  | 19970523 <-- |
| W: AU, CA, CN, HU, KR, MX, NO, US                                      |      |          |                 |              |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                 |              |
| AU 9727920   | A    | 19980105 | AU 1997-27920   | 19970523 <-- |
| JP 10265452  | A    | 19981006 | JP 1997-148448  | 19970523 <-- |
| EP 915086  | A1   | 19990512 | EP 1997-922148  | 19970523 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI  |      |          |                 |              |
| PRIORITY APPLN. INFO.:   |      |          | JP 1996-151864  | A 19960524   |
|  |      |          | JP 1997-20879   | A 19970121   |
|  |      |          | WO 1997-JP1735  | W 19970523   |

OTHER SOURCE(S): MARPAT 128:61793

GI



I



II

AB Phenylsulfonylamide derivs. represented by general formula (I; R1 = hydrogen or alkyl; R2 = CO2R3 or CONHOR4; wherein R3 = H, C1-8 alkyl, Ph, substituted C1-4 alkyl; R4 = H, C1-8 alkyl, Ph, phenyl-C1-4 alkyl; E = CH:CH, C.tplbond.C; A = hydrogen, alkyl, (un)substituted carbocycle or heterocycle; J = single bond or alkylene; R9, R10 = each hydrogen, (substituted) alkyl, COR11, carbocycle, heterocycle, etc.; R11 = OH, C1-8 alkyl, C1-8 alkoxy, PhO, phenyl-C1-4 alkyl, (un)substituted NH2; R20 = hydrogen, (substituted) C1-4 alkyl, C1-8 alkoxycarbonyl, phenyl-C1-4 alkoxycarbonyl, substituted C1-8 alkyl; or NR20CR9 = 5- to 7-membered heterocyclic ring containing 1 N atom) and salts thereof are prepared Also claimed are processes for producing the same; a matrix metalloproteinase inhibitor containing the same; and medicines containing the same and serving as preventives and/or remedies for rheumatism, osteoarthritis, pathol. bone resorption, osteoporosis, periodontosis, interstitial nephritis, arteriosclerosis, pulmonary emphysema, hepatocirrhosis, corneal injury, diseases due to cancer cell metastasis, infiltration and proliferation, autoimmune diseases (such as Crohn's disease and Sjogren's disease), diseases due to leukocyte emigration or infiltration, and neovascularization. Thus, 4-bromobenzenesulfonyl chloride was added to a solution of tert-Bu D-phenylalaninate in pyridine under ice-cooling and the resulting mixture was stirred at room temperature for 1 h to give tert-Bu N-(4-bromophenylsulfonyl)-D-phenylalaninate. A mixture of the latter compound, 10% Pd-C, Ph3P, CuI, MeCN, and Et3N was refluxed for 3 h to give tert-Bu D-phenylalaninate derivative (II; R = tert-butyl) which was stirred at room temperature for 1 h to give II (R = H). A tablet and an ampule

formulation

containing II (R = H) were prepared

IT 200294-53-7P

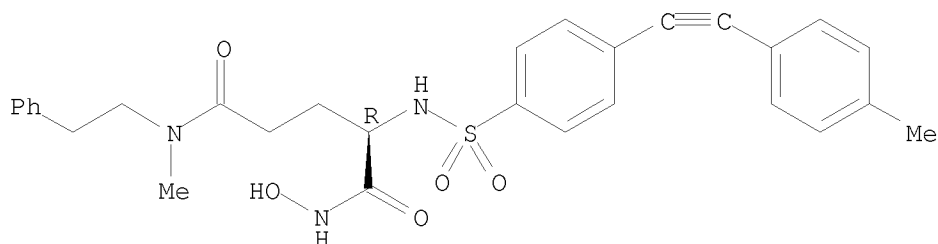
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(phenylsulfonyl)amino acid derivs. as matrix metalloproteinase inhibitors for disease treatment)

RN 200294-53-7 CAPLUS

CN Pentanediamide, N1-hydroxy-N5-methyl-2-[[[4-[2-(4-methylphenyl)ethynyl]phenyl]sulfonyl]amino]-N5-(2-phenylethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS  
RECORD (24 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:506187 CAPLUS

DOCUMENT NUMBER: 122:242807

ORIGINAL REFERENCE NO.: 122:44327a, 44330a

TITLE: Preparation of

3-[bis(carboxymethyl)amino]-N-hydroxypropionamides and  
salts and their use as sequestering agents

INVENTOR(S): Greindl, Thomas; Kud, Alexander; Schwendemann, Volker;  
Kneip, Michael; Kappes, Elisabeth; Baur, Richard;  
Schneider, Juergen; Potthoff-karl, Birgit; Oftring,  
Alfred

PATENT ASSIGNEE(S): BASF A.-G., Germany

SOURCE: Ger. Offen., 10 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE         |
|--|------|----------|-----------------|--------------|
| DE 4313137   | A1   | 19941027 | DE 1993-4313137 | 19930422 <-- |
| WO 9424096   | A1   | 19941027 | WO 1994-EP1166  | 19940415 <-- |
| W: CA, JP, US  |      |          |                 |              |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                 |              |
| EP 695289  | A1   | 19960207 | EP 1994-916159  | 19940415 <-- |
| EP 695289  | B1   | 19980701 |                 |              |
| R: DE, FR, GB, IT, NL  |      |          |                 |              |
| JP 08508746  | T    | 19960917 | JP 1994-522749  | 19940415 <-- |
| US 5733342   | A    | 19980331 | US 1995-532569  | 19951019 <-- |
| PRIORITY APPLN. INFO.:   |      |          | DE 1993-4313137 | A 19930422   |
|  |      |          | WO 1994-EP1166  | W 19940415   |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 122:242807

AB Sequestering agents (XO<sub>2</sub>CCH<sub>2</sub>)<sub>2</sub>NCHR<sub>1</sub>CHR<sub>2</sub>CONHOY (R<sub>1-2</sub> = H, Me, Et; X, Y = H, alkali metal, ammonium) are prepared for use as detergent builders and bleach stabilizers. Iminodiacetic acid, Me acrylate, H<sub>2</sub>NOH, and NaOH were used in the preparation of (HO<sub>2</sub>CCH<sub>2</sub>)<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CONHOH mono-Na salt which was used (5 parts) with 30 parts zeolite A in a laundry detergent composition which



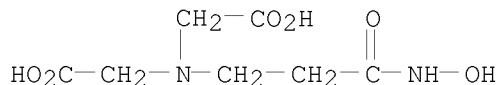
10/923,271

inhibited incrustations in fabrics during repeated laundering.

IT 162459-81-6P 162459-83-8P  
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); TEM  
(Technical or engineered material use); PREP (Preparation); USES (Uses)  
(sequestering agents; preparation and use as detergent builders and bleach  
stabilizers)

RN 162459-81-6 CAPLUS

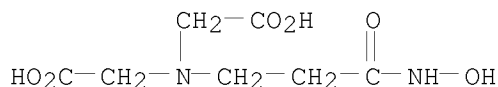
CN Glycine, N-(carboxymethyl)-N-[3-(hydroxyamino)-3-oxopropyl]-, monosodium  
salt (9CI) (CA INDEX NAME)



● Na

RN 162459-83-8 CAPLUS

CN Glycine, N-(carboxymethyl)-N-[3-(hydroxyamino)-3-oxopropyl]-, disodium  
salt (9CI) (CA INDEX NAME)



●2 Na

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L19 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:700765 CAPLUS

DOCUMENT NUMBER: 121:300765

ORIGINAL REFERENCE NO.: 121:55057a,55060a

TITLE: Preparation of oxoheterocycllyl-substituted hydroxamic  
acid derivatives as collagenase inhibitors

INVENTOR(S): Broadhurst, Michael John; Brown, Paul Anthony;  
Johnson, William Henry; Lawton, Geoffrey

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

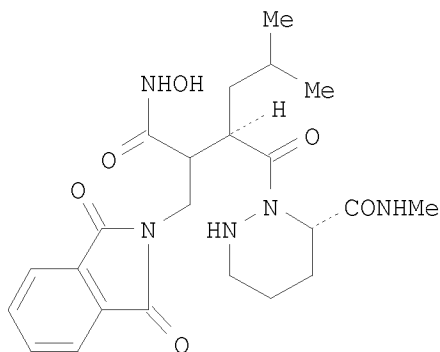
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE         |
|------------|------|----------|-----------------|--------------|
| -----      | ---  | -----    | -----           | -----        |
| EP 574758  | A1   | 19931222 | EP 1993-108628  | 19930528 <-- |

|   |    |          |                 |              |
|---|----|----------|-----------------|--------------|
| EP 574758   | B1 | 19980909 |                 |              |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE |    |          |                 |              |
| US 5318964  | A  | 19940607 | US 1993-66832   | 19930524 <-- |
| AU 9339816  | A  | 19931216 | AU 1993-39816   | 19930526 <-- |
| AU 659555   | B2 | 19950518 |                 |              |
| AT 170840   | T  | 19980915 | AT 1993-108628  | 19930528 <-- |
| ES 2121896  | T3 | 19981216 | ES 1993-108628  | 19930528 <-- |
| ZA 9303957  | A  | 19931213 | ZA 1993-3957    | 19930604 <-- |
| RO 112613   | B3 | 19971128 | RO 1993-777     | 19930604 <-- |
| CZ 283373   | B6 | 19980415 | CZ 1993-1081    | 19930604 <-- |
| IL 105921   | A  | 19980104 | IL 1993-105921  | 19930607 <-- |
| CA 2098168  | A1 | 19931212 | CA 1993-2098168 | 19930610 <-- |
| NO 9302117  | A  | 19931213 | NO 1993-2117    | 19930610 <-- |
| CN 1083062  | A  | 19940302 | CN 1993-107239  | 19930610 <-- |
| CN 1035616  | C  | 19970813 |                 |              |
| JP 06065196   | A  | 19940308 | JP 1993-165228  | 19930610 <-- |
| JP 07076210   | B  | 19950816 |                 |              |
| FI 109535   | B1 | 20020830 | FI 1993-2692    | 19930611 <-- |
| US 5447929  | A  | 19950905 | US 1994-214895  | 19940317 <-- |
| PRIORITY APPLN. INFO.:  |    |          | GB 1992-12421   | A 19920611   |
|   |    |          | GB 1993-5720    | A 19930319   |
|   |    |          | US 1993-66832   | A3 19930524  |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 121:300765  
 GI



AB R1 (CH<sub>2</sub>)<sub>n</sub>CH (CONHOH)CH (CONR<sub>2</sub>R<sub>3</sub>)CHR<sub>4</sub>CR<sub>5</sub>R<sub>6</sub>CH<sub>2</sub>R<sub>7</sub> (R<sub>1</sub> = N-attached oxoheterocyclyl; R<sub>2</sub> = alkyl; R<sub>3</sub> = alkyl or aryl; NR<sub>2</sub>R<sub>3</sub> = heterocyclyl; R<sub>4</sub>-R<sub>7</sub> = H or Me; n = 1-4) were prepared. Thus, (2R)-[(1R,S)-tert-butoxycarbonyl-2-phthalimidoethyl]-4-methylvaleric acid was amidated by 1-benzyloxycarbamoyl-(3S)-hexahydropyridazinecarboxylic acid and the product converted in 3 steps to title compound (R,S)-I which had IC<sub>50</sub> of 1.2 nM against collagenase in vitro.

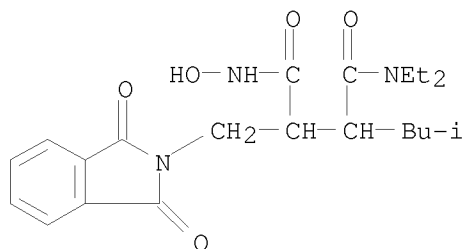
IT 159135-28-1P 159135-30-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as collagenase inhibitor)

RN 159135-28-1 CAPLUS

CN Hexanamide, 1-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N,N-diethyl-N'-

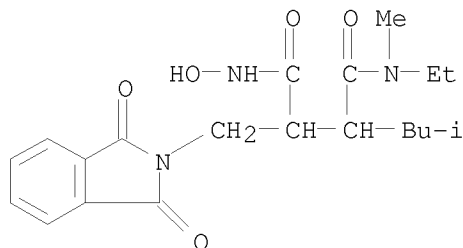
10/923,271

hydroxy-5-methyl- (CA INDEX NAME)



RN 159135-30-5 CAPLUS

CN Hexanamide, 1-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N-ethyl-N'-hydroxy-N,5-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 30 THERE ARE 30 CAPLUS RECORDS THAT CITE THIS RECORD (38 CITINGS)

L19 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:578813 CAPLUS

DOCUMENT NUMBER: 121:178813

ORIGINAL REFERENCE NO.: 121:32467a,32470a

TITLE: Convenient method for the preparation of some polyhydroxamic acids: Michael addition of amines to acrylohydroxamic acid derivatives

AUTHOR(S): Koshti, Nirmal M.; Jacobs, Hollie K.; Martin, Patrick A.; Smith, Paul H.; Gopalan, Aravamudan S.

CORPORATE SOURCE: Dep. Chem. and Biochem., New Mexico State Univ., Las Cruces, NM, 88003-8001, USA

SOURCE: Tetrahedron Letters (1994), 35(29), 5157-60

CODEN: TELEAY; ISSN: 0040-4039

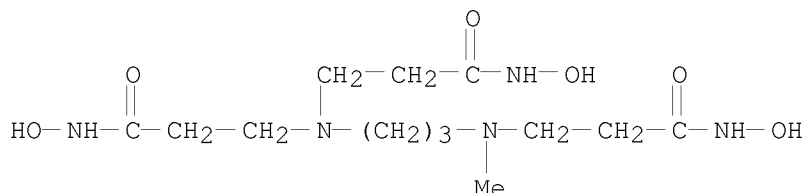
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:178813

AB Reagents CH2:CHCONR1OR2 (R1 = H, R2 = PhCH2; R1 = Me, R2 = SiMe2CMe3, SiPh2CMe3) are readily prepared by the reaction of the appropriate hydroxylamine derivs. with acryloyl chloride. The reagents undergo Michael addition with a variety of amines to give the corresponding O-protected hydroxamate derivs. in moderate to good yields. Subsequent removal of the protecting group provides a convenient method for the preparation of a number of mono-, di-, tri- and tetrahydroxamic acids.

|    |  |                 |  |
|----|--|-----------------|--|
| IT | 157614-62-5P   | 157614-64-7P    |  |
|    | RL: SPN (Synthetic preparation); PREP (Preparation)  |                 |  |
|    | (preparation of)   |                 |  |
| RN | 157614-62-5  | CAPLUS          |  |
| CN | Propanamide, 3,3'-[[3-[[3-(hydroxyamino)-3-oxopropyl]methylamino]propyl]imino]bis[N-hydroxy- |                 |  |
|    | (9CI)  | (CA INDEX NAME) |  |


$$\text{HO}-\text{NH}-\overset{\text{O}}{\parallel}\text{C}-\text{CH}_2-\text{CH}_2-\overset{\text{Me}}{\text{N}}-(\text{CH}_2)_6-\overset{\text{Me}}{\text{N}}-\text{CH}_2-\text{CH}_2-\overset{\text{O}}{\parallel}\text{C}-\text{NH}-\text{OH}$$

L19 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1994:420632 CAPLUS  
DOCUMENT NUMBER: 121:20632  
ORIGINAL REFERENCE NO.: 121:3711a,3714a  
TITLE: Minimization and remediation of DOE nuclear waste  
problems using high selectivity actinide chelators  
AUTHOR(S): Gopalan, A.; Zincircioglu, O.; Smith, P.  
CORPORATE SOURCE: Dep. Chem., New Mexico State Univ., Las Cruces, NM,  
88003, USA  
SOURCE: Radioactive Waste Management and the Nuclear Fuel  
Cycle (1993), 17(3-4), 161-75  
CODEN: RWMCD4; ISSN: 0739-5876  
DOCUMENT TYPE: Journal  
LANGUAGE: English

08/09/2010

Chelators that have been synthesized contain either a flexible acyclic structural backbone or a rigid benzene spacer to which the ligands are appended. Also, methods for the preparation of some model hexadentate and octadentate hydroxamate chelators and a novel chelator containing three iminodiacetic acid ligands are described. Results of some preliminary binding studies on the synthesized chelators are discussed. Desferrioxamine-B, a known hydroxamic acid siderophore, has been used a model to develop procedures for evaluating the binding abilities of synthetic chelators.

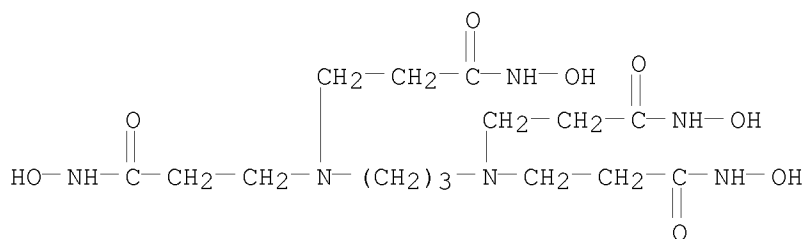
IT 155819-25-3

RL: PROC (Process)

(chelating agent, for actinide removal from contaminated soils and radioactive wastewaters)

RN 155819-25-3 CAPLUS

CN Propanamide, 3,3',3'',3'''-(1,3-propanedinitrilo)tetrakis[N-hydroxy-, tetrapotassium salt (9CI) (CA INDEX NAME)



● 4 K

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L19 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:307465 CAPLUS

DOCUMENT NUMBER: 120:307465

ORIGINAL REFERENCE NO.: 120:53941a,53944a

TITLE: Hydroxamic acid-based bifunctional chelating compounds

INVENTOR(S): Safavy, Ahmad; Buchsbaum, Donald J.; Khazaeli, M. B.

PATENT ASSIGNEE(S): UAB Research Foundation, USA

SOURCE: PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| WO 9405627  | A1   | 19940317 | WO 1993-US8401  | 19930907 <-- |
| W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, VN |      |          |                 |              |

10/923,271

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,  
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  
US 5756825 A 19980526 US 1993-48869 19930416 <--  
AU 9348501 A 19940329 AU 1993-48501 19930907 <--  
PRIORITY APPLN. INFO.: US 1992-941986 A 19920908  
US 1993-48869 A 19930416  
WO 1993-US8401 W 19930907

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The present disclosure details the preparation of hydroxamic acid-based bifunctional chelators and their use in conjugating metal ions to proteins and nucleic acids for tumor or tissue imaging or therapy purposes. Some preferred aspects of the disclosure involve the preparation of trisuccin, particularly useful for binding radionuclides such as <sup>99</sup>Tc, <sup>186</sup>Re, and <sup>67</sup>Cu. Thus, trisuccin-monoclonal antibody CC49 conjugate was prepared using dicyclohexylcarbodiimide and labeled with <sup>99m</sup>Tc. The radiolabeled conjugate was administered to human colon cancer cell line-bearing mice and the tumor localization and tissue biodistribution of the antibodies were determined

IT 155109-50-5

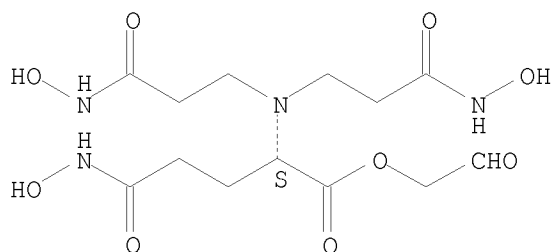
RL: BIOL (Biological study)

(as bifunctional chelator for conjugation of metal ions to proteins)

RN 155109-50-5 CAPLUS

CN L-Glutamine, N2,N2-bis[3-(hydroxyamino)-3-oxopropyl]-N-hydroxy-,  
2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)  
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:211240 CAPLUS

DOCUMENT NUMBER: 120:211240

ORIGINAL REFERENCE NO.: 120:37301a,37304a

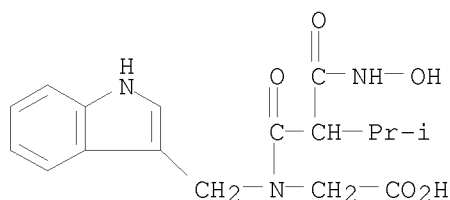
TITLE: Design and synthesis of new peptidase inhibitors based  
on an endogenous ACE inhibitor Val-Trp

AUTHOR(S): Ueki, Masaaki; Katoh, Tsuyoshi; Shimizu, Tatsuto;  
Komiyama, Satoko; Tobe, Masanori; Mizuno, Mamoru; Yuasa,  
Ritsuko; Watanabe, Ayako; Hazato, Tadahiko

CORPORATE SOURCE: Dep. Appl. Chem., Sci. Univ. Tokyo, Tokyo, 162, Japan

SOURCE: Pept. Chem. 1992, Proc. Jpn. Symp., 2nd (1993\*\*\*)  
, Meeting Date 1992, 538-40. Editor(s): Yanaihara,  
Noboru. ESCOM: Leiden, Neth.

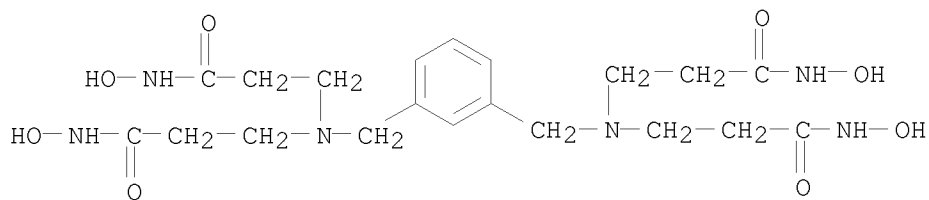
CODEN: 59NTAC  
 DOCUMENT TYPE: Conference  
 LANGUAGE: English  
 AB Using the naturally occurring angiotensin-converting enzyme (ACE) inhibitor, Val-Trp, as a lead compd., and adding a hydroxamate group which is a key Zn-interacting structure in kelatorphin, an inhibitor of enkephalin-degrading enzymes, a synthetic ACE and enkephalinase A and B inhibitor, SUT-9014, was designed, prepd., and tested. Using SUT-9014 [N-(RS)-[2-(hydroxyaminocarbonyl)-3-methyl-1-oxobutyl]-L-tryptophan] as a 2nd lead compd., 12 analogs were synthesized and structure-activity relations were studied. The results indicated that the amino H atom of tryptophan is necessary for enzyme recognition by the H-bond and that the structure of the P2' site appeared to be more important in ACE.  
 IT \*\*\*153980-96-2, SUT 9132  
 RL: BIOL (Biological study)  
 (angiotensin-converting enzyme and enkephalinases A and B inhibition by, structure in relation to)  
 RN 153980-96-2 CAPLUS  
 CN Glycine, N-[2-[(hydroxyamino)carbonyl]-3-methyl-1-oxobutyl]-N-(1H-indol-3-ylmethyl)- (CA INDEX NAME)



L19 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1993:21976 CAPLUS  
 DOCUMENT NUMBER: 118:21976  
 ORIGINAL REFERENCE NO.: 118:4129a,4132a  
 TITLE: Novel tetrahydroxamate chelators for actinide complexation: synthesis and binding studies  
 AUTHOR(S): Gopalan, Aravamudan S.; Huber, Vincent J.; Zincircioglu, Orhan; Smith, Paul H.  
 CORPORATE SOURCE: Dep. Chem., New Mexico State Univ., Las Cruces, NM, 88003-0001, USA  
 SOURCE: Journal of the Chemical Society, Chemical Communications (1992), (17), 1266-8  
 CODEN: JCCCAT; ISSN: 0022-4936  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The chelators, e.g., 1,3-C6H4[CH2N(CH2CH2CONHOH)2]2, members of a new class of tetrahydroxamate chelators, are readily synthesized and are shown by potentiometric studies to have high affinities for thorium(IV), iron(III) and neodymium(III).  
 IT 145060-17-9P 145060-18-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation, protonation consts. and formation consts. of, for metal chelation)  
 RN 145060-17-9 CAPLUS

10/923,271

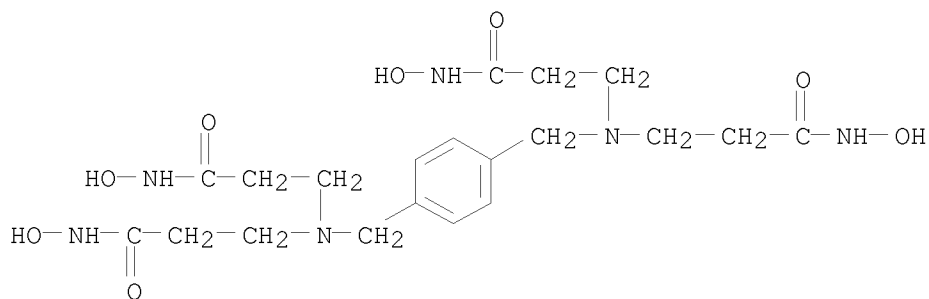
CN Propanamide, 3,3',3'',3'''-[1,3-phenylenebis(methylenenitrilo)]tetrakis[N-hydroxy-, tripotassium salt (9CI) (CA INDEX NAME)



● 3 K

RN 145060-18-0 CAPLUS

CN Propanamide, 3,3',3'',3'''-[1,4-phenylenebis(methylenenitrilo)]tetrakis[N-hydroxy-, tripotassium salt (9CI) (CA INDEX NAME)



● 3 K

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

L19 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1992:407618 CAPLUS

DOCUMENT NUMBER: 117:7618

ORIGINAL REFERENCE NO.: 117:1539a,1542a

TITLE: General method for the synthesis of trishydroxamic acids

AUTHOR(S): Karunaratne, V.; Hoveyda, H. R.; Orvig, C.

CORPORATE SOURCE: Dep. Chem., Univ. British Columbia, Vancouver, BC, V6T 1Z1, Can.

SOURCE: Tetrahedron Letters (1992), 33(14), 1827-30

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 117:7618



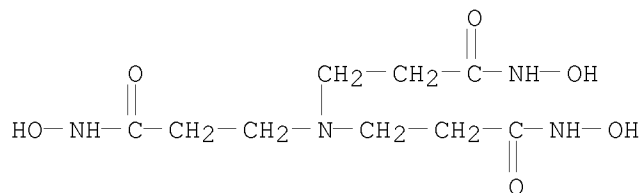
10/923,271

AB Triscarboxylic acids, when treated with hydroxylamines in the presence of water-soluble carbodiimide in THF-H<sub>2</sub>O, at pH .apprx. 4.8, yield the corresponding trishydroxamic acids in good yields.

IT 69778-14-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 69778-14-9 CAPLUS

CN Propanamide, 3,3',3''-nitrilotris[N-hydroxy- (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

L19 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1984:531205 CAPLUS

DOCUMENT NUMBER: 101:131205

ORIGINAL REFERENCE NO.: 101:19977a,19980a

TITLE: Role of complex formation during polycondensation of activated N-hydroxysuccinimide esters with diamines

AUTHOR(S): Katsarava, R. D.; Kharadze, D. P.; Avalishvili, L. M.; Zaalishvili, M. M.

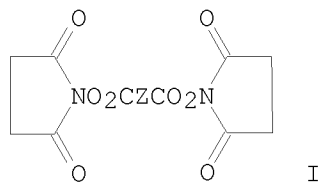
CORPORATE SOURCE: Inst. Fiziol., Tbilisi, USSR

SOURCE: Vysokomolekulyarnye Soedineniya, Seriya A (1984), 26(7), 1537-43  
CODEN: VYSAAF; ISSN: 0507-5475

DOCUMENT TYPE: Journal

LANGUAGE: Russian

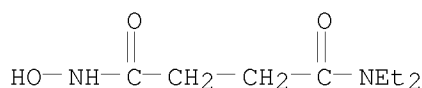
GI



AB During polycondensation of diamines with the title esters (I, Z = alkylene, arylene), the N-hydroxysuccinimide (II) [6066-82-6] byproduct formed complexes with the diamines. During polycondensation of weakly reactive I (Z = arylene) with aliphatic diamines at moderate temps., the complexation retarded polycondensation and prevented formation of high-mol.-weight polyamides. The polymerization rate increased sharply at higher temperature; however, side reactions also intensified. During reaction of

10/923,271

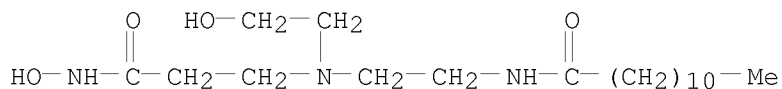
highly reactive I (Z = alkylene), complexation had little influence on the polymerization  
IT 91990-28-2P  
RL: PREP (Preparation)  
(formation and properties of, polycondensation of diamines with hydroxysuccinimide diesters in relation to)  
RN 91990-28-2 CAPLUS  
CN Butanediamide, N1,N1-diethyl-N4-hydroxy- (CA INDEX NAME)



L19 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1983:18481 CAPLUS  
DOCUMENT NUMBER: 98:18481  
ORIGINAL REFERENCE NO.: 98:2973a,2976a  
TITLE: Hydroxamic acid amphoteric surfactants  
PATENT ASSIGNEE(S): Lion Corp., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|-------------|------|----------|-----------------|--------------|
| -----       | ---- | -----    | -----           | -----        |
| JP 57119997 | A    | 19820726 | JP 1981-6137    | 19810119 <-- |
| JP 62053510 | B    | 19871110 |                 |              |

PRIORITY APPLN. INFO.: JP 1981-6137 19810119  
AB Et 3-[N-(2-hydroxyethyl)-N-(lauroylaminoethyl)amino]propionate [83952-04-9] (47.9 g) was dissolved in 200 g EtOH, mixed with 10 g NH<sub>2</sub>OH·HCl [5470-11-1] and 12 g NaOH, and stirred for 1-5 h to prepare 45 g 3-[N-(2-hydroxyethyl)-N-(lauroylaminoethyl)amino]propiohydroxamic acid (I) [83952-05-0] which was an inhibitor for urease [9002-13-5]. A solution containing 0.75% I inhibited >60% of the formation of NH<sub>3</sub>.  
IT 83952-05-0P  
RL: TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
(surfactants, amphoteric, manufacture of, as inhibitors for urease)  
RN 83952-05-0 CAPLUS  
CN Dodecanamide, N-[2-[[3-(hydroxyamino)-3-oxopropyl](2-hydroxyethyl)amino]ethyl]- (CA INDEX NAME)



10/923,271

L19 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1979:145712 CAPLUS

DOCUMENT NUMBER: 90:145712

ORIGINAL REFERENCE NO.: 90:23037a,23040a

TITLE: The selection and evaluation of new chelating agents for the treatment of iron overload

AUTHOR(S): Pitt, C. G.; Gupta, G.; Estes, W. E.; Rosenkrantz, H.; Metterville, J. J.; Crumbliss, A. L.; Palmer, R. A.; Nordquest, K. W.; Sprinkle Hardy, K. A.; et al.

CORPORATE SOURCE: Res. Triangle Inst., Research Triangle Park, NC, USA  
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1979), 208(1), 12-18  
CODEN: JPETAB; ISSN: 0022-3565

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A large-scale systematic evaluation of potential iron chelators for the treatment of hemosiderosis was conducted. The compds. were identified and evaluated using a hypertransfused mouse screen in which deferrioxamine B [70-51-9] was a standard. This screen was designed to measure Fe depletion in the tissues as well as Fe excretion. Groups of 10 previously hypertransfused BDF1 male mice received a single daily i.p. injection of either vehicle, standard, or test compound for 7 days. Fe in daily urine pools and individual spleen and liver homogenates was determined by atomic absorption.

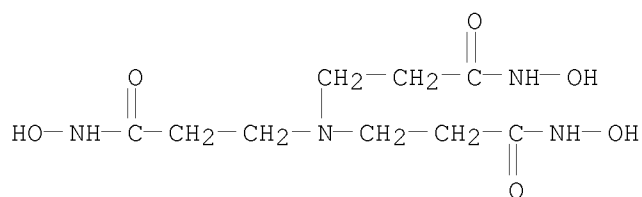
More than 70 chelators were evaluated, including natural and synthetic hydroxamic acids, phenols, catechols and tropolones known to have a high affinity for Fe (III) in vitro. Ethylenediamine-N,N'-bis(2-hydroxyphenylacetic acid) [1170-02-1] was considerable more effective than deferrioxamine B (i.p.) and, in addition, was orally active. Factors determining the efficacy of this and other chelating agents are discussed.

IT 69778-14-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(iron chelation by, in hemosiderosis)

RN 69778-14-9 CAPLUS

CN Propanamide, 3,3',3''-nitrilotris[N-hydroxy- (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L19 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1979:102966 CAPLUS

DOCUMENT NUMBER: 90:102966

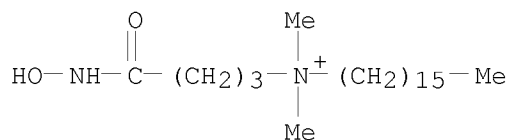
ORIGINAL REFERENCE NO.: 90:16255a,16258a

TITLE: Dipolar micelles. 8. Hydrolysis of substituted phenyl esters in a hydroxamic acid surfactant

AUTHOR(S): Pillersdorf, A.; Katzhendler, J.

10/923,271

CORPORATE SOURCE: Sch. Pharm., Hebrew Univ., Jerusalem, Israel  
SOURCE: Journal of Organic Chemistry (1979), 44(4),  
549-54  
CODEN: JOCEAH; ISSN: 0022-3263  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB The reactions of hydroxamic acid catalysts of the structure  
 $\text{Me}(\text{CH}_2)_n\text{N}^+\text{Me}_2(\text{CH}_2)_3\text{CONHOH Br}^-$  [ $n = 15$  (I), 0] with substituted Ph esters  
were studied. The kinetics in I followed the expression:  $k_{\text{obsd}} = k_0 +$   
 $k_{\text{cka}}/(k_a + \text{H}^+) + k_{\text{OH}}[\text{OH}^-]$ . The water-catalysis rates  $k_0$  for all the  
esters studied were significantly greater than the spontaneous rate  
consts. reported in the literature for esters with identical leaving  
groups. The magnitude of the water rate consts., and their dependence on  
microenvironmental factors as displayed by mixed micellar systems,  
indicated that the reaction proceeds via electrophilic assistance by the  
onium head groups. Nucleophilic attack by the hydroxamate anion ( $k_c$ ) in I  
on the esters corresponds to a  $\beta$  Broensted value of -1.1. Although I  
was expected to be an  $\alpha$ -effector catalyst, the relative enhancement  
of the rate consts. was very small. This was explained in terms of  
proximity and electrostatic effects in the transition state. The  
basic-hydrolysis rates  $k_{\text{OH}}$  and the titrimetric behavior of I were also  
discussed.  
IT 68367-35-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and catalysis by, of hydrolysis of substituted Ph esters,  
kinetics with)  
RN 68367-35-1 CAPLUS  
CN 1-Hexadecanaminium, N-[4-(hydroxyamino)-4-oxobutyl]-N,N-dimethyl-, bromide  
(1:1) (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

L19 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1973:38037 CAPLUS  
DOCUMENT NUMBER: 78:38037  
ORIGINAL REFERENCE NO.: 78:5949a,5952a  
TITLE: Potential hypotensive compounds. Substituted  
3-aminopropionates and 3-aminopropionohydroxamic acids  
AUTHOR(S): Biggs, D. F.; Coutts, R. T.; Selley, M. L.; Towill, G.  
A.  
CORPORATE SOURCE: Fac. Pharm. Pharm. Sci., Univ. Alberta, Edmonton, AB,  
Can.  
SOURCE: Journal of Pharmaceutical Sciences (1972),

61(11), 1739-45

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE:

Journal

LANGUAGE:

English

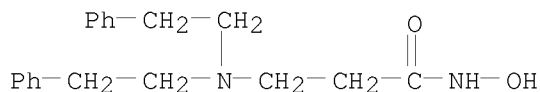
AB Most of the 48 3-aminopropionate esters studied were synthesized by addition of an amine across the  $\alpha,\beta$ -double bond of Me acrylate [96-33-3], Me methacrylate [80-62-6], or Me crotonate [18707-60-3], while the remainder were obtained by interaction of 1 mole of a 3-bromopropionic ester with 2 moles of the corresponding amine. Twenty-six 3-aminopropionohydroxamic acid hydrochlorides were prepared by treatment of the appropriate amino ester with hydroxylamine-HCl [5470-11-1] in MeOH. Many of the compds. such as 2-methyl-3-[(2-phenylethyl)amino]propanoic acid Me ester [6297-67-2], 3,3'-[(2-phenylethyl)imino]bispropanoic acid dimethyl ester [38129-46-3], N-[3-(hydroxyamino)-2-methyl-3-oxopropyl]heptanaminium chloride [38129-47-4], and N-[3-(hydroxyamino)-3-oxopropyl]-2-(2-phenylethyl)benzeneethanaminium chloride [38202-84-5] possessed hypotensive properties but of very short duration. 2-Methyl-3-(octylamino)propanoic acid Me ester [29228-46-4] was the most active, and at 4 mg/kg i.v. decreased the blood pressure of rats by an average of 52% for 12 min. Some of the compds. were screened for their ability to protect mice against a lethal dose of diisopropylfluorophosphate [55-91-4], but none was active.

IT 38202-84-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and hypotensive effect of)

RN 38202-84-5 CAPLUS

CN Propanamide, 3-[bis(2-phenylethyl)amino]-N-hydroxy-, hydrochloride (1:1)  
(CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

L19 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1971:75986 CAPLUS

DOCUMENT NUMBER: 74:75986

ORIGINAL REFERENCE NO.: 74:12327a,12330a

TITLE: Synthesis and properties of some hypotensive  
N-alkylaminopropionic esters and  
N,N-dialkylaminopropionic esters and their hydroxamic  
acids

AUTHOR(S): Coutts, Ronald T.; Hubbard, J. W.; Midha, Kamal K.;  
Prasad, Kailash

CORPORATE SOURCE: Fac. Pharm. Pharm. Sci., Univ. Alberta, Edmonton, AB,  
Can.

SOURCE: Journal of Pharmaceutical Sciences (1971),  
60(1), 28-33

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI For diagram(s), see printed CA Issue.

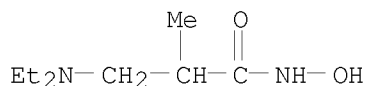
AB Thirty-eight 3-(N-alkylamino)- and 3-(N,N-dialkylamino)propionic esters (I), hydroxamic acids (II), carboxylic acids, and related compds. were synthesized and the majority of the esters and hydroxamic acids decreased the blood pressure of anesthetized cats, while the carboxylic acids were inactive. The esters were prepared by the interaction of methyl acrylate or methyl methacrylate and an appropriate amine. Some hindered amines did not react with the acrylate, and some esters hydrolyzed to the corresponding carboxylic acids when stored even for a short time. The hydroxamic acids were prepared from the amino esters treated with hydroxylamine.

IT 31035-63-9P 31035-64-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 31035-63-9 CAPLUS

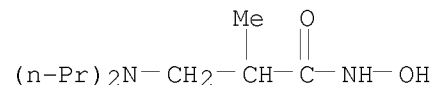
CN Propanamide, 3-(diethylamino)-N-hydroxy-2-methyl-, hydrochloride (1:1)  
(CA INDEX NAME)



● HCl

RN 31035-64-0 CAPLUS

CN Propanamide, 3-(dipropylamino)-N-hydroxy-2-methyl-, hydrochloride (1:1)  
(CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

L19 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1964:483943 CAPLUS

DOCUMENT NUMBER: 61:83943

ORIGINAL REFERENCE NO.: 61:14578h,14579a-c

TITLE: Synthesis and polarographic reduction of aliphatic  
amino hydroxamic acids

AUTHOR(S): Matveev, B. V.; Tsybaeva, G. G.

CORPORATE SOURCE: S. M. Kirov Milit. Med. Acad., Leningrad

SOURCE: Zhurnal Obshechi Khimii (1964), 34(8),  
2491-5

CODEN: ZOKHA4; ISSN: 0044-460X

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB The hydroxamic acids listed below were prepared from esters of appropriate amino acids and HONH<sub>2</sub>.HCl in H<sub>2</sub>O or aqueous EtOH at 0-10°; they were isolated as HCl salts after evaporation and extraction with hot EtOH; the HCl salts

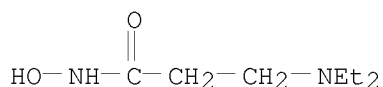
were converted to the free acids with EtONa solution, and further treatment with MeI gave the corresponding methiodides. For these acids, the % yields, m.p., pKa and polarographic half-wave potentials (volts) were as follows: AcNHOH, 45, 85°, 8.70, -2.18; H<sub>2</sub>NCH<sub>2</sub>CONHOH.HCl, 60, 108-9°, 7.35, -2.33; Me<sub>2</sub>NCH<sub>2</sub>CONHOH.HCl, 50, 145°, 7.10, -2.10; Me<sub>3</sub>NCH<sub>2</sub>CONHOH.Br, 52, 156°, 6.70, -2.38; Et<sub>2</sub>NCH<sub>2</sub>CONHOH.HCl, 44, 118-19°, 7.20, -2.10; Et<sub>3</sub>NCH<sub>2</sub>CONHOH.Br, 31, 141-3°, 6.60, -2.12; H<sub>2</sub>NCHMeCONHOH.HCl, 52, 165°, 7.25, -2.35; Me<sub>2</sub>NCHMeCONHOH.HCl, 51, 170-1°, 6.80, -2.28; Me<sub>3</sub>NCHMeCONHOH.I, 72, 80-1°, 6.65, -2.45; H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CONHOH.HCl, 20, 144°, 7.90, -2.30; Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CONHOH.HCl, 82, 90-1°, 7.85, -2.25; Me<sub>3</sub>NCH<sub>2</sub>CH<sub>2</sub>CONHOH.I, 73, 133-4°, 8.0, -2.22; Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CONHOH.HCl, 77, 91-2°, 8.15, -2.20; 2NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CONHOH.HCl, 50, 80-1°, 8.40, -2.22; Me<sub>3</sub>NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CONHOH.Br, 33, 163-5°, 8.60, -2.22; PhCH<sub>2</sub>NMe<sub>2</sub>CH<sub>2</sub>CONHOH.Br, 43, -, 6.70, -2.15; PhCH<sub>2</sub>NMe<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CONHOH.Br, 41, -, 8.40, -2.19; HO<sub>2</sub>CCH(NH<sub>2</sub>)CH<sub>2</sub>CH<sub>2</sub>CONHOH.HCl, 43, 114, -, -2.18; MeSCH<sub>2</sub>CH<sub>2</sub>CH(NH<sub>2</sub>)CONHOH.HCl, 61, 130-2°, 6.60, -2.17; CH<sub>2</sub>[CH<sub>2</sub>NMe<sub>2</sub>CH<sub>2</sub>CONHOH]2.2Br, 47, -, 6.20, -2.36. The correlation of the half-wave potentials with dissociation consts. is discussed.

IT 91773-87-4P, Propionohydroxamic acid, 3-(diethylamino)-, hydrochloride

RL: PREP (Preparation)  
(preparation of)

RN 91773-87-4 CAPLUS

CN Propanamide, 3-(diethylamino)-N-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)



● HCl